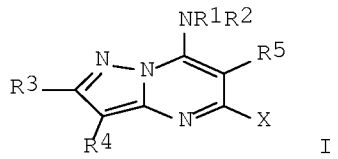
L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1022145 CAPLUS Full-text
DN 147:365513
TI Preparation of pyrazolo[1,5-a]pyrimidines as agricultural fungicides
IN Dietz, Jochen; Grote, Thomas; Grammenos, Wassilios; Mueller, Bernd; Lohmann, Jan Klaas; Renner, Jens; Ulmschneider, Sarah
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 150pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007101859	A1	20070913	WO 2007-EP52104	20070306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI	EP 2006-110739	A	20060307
	EP 2006-111155	A	20060315

OS MARPAT 147:365513
GI

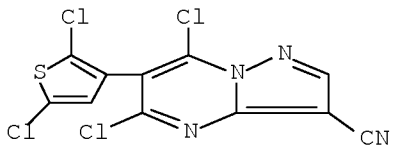


I

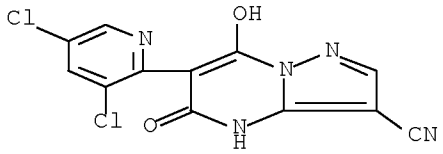
AB The title compds. [I; R1 = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, (halo)cycloalkyl, (halo)cycloalkenyl, (halo)alkoxy, alkenyloxy, alkynyloxy, cycloalkoxy, amino, alkylamino, dialkylamino, Ph, naphthyl 5-6 membered (saturated) aromatic heterocyclyl containing 1-4 heteroatoms selected from O, N and S; R2 = CR6R7(CR8R9)q(CR10R11)pYZ ; R6-R11 = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, (halo)cycloalkyl, (halo)cycloalkenyl, etc.; Y = S, O; Z = H, CO2H, CHO, alkyl, (halo)alkyl, (halo)alkynyl, etc. q = 0, 1; p = 0-5; R3, R4 = H, halo, cyano, NO2, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, hydroxyalkyl, alkoxyalkyl, (halo)cycloalkyl, (halo)alkoxy, alkylthio, alkylsulfynyl, alkylsulfonyl, CHO, thiocarbamoyl, alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxyiminocarbonyl, hydroxyiminoalkyl, etc.; X = H, cyano, (halo)alkyl, (halo)alkoxy; R5 = 5-6 membered (saturated) aromatic (substituted) heterocyclyl containing 1-4 heteroatoms selected from O, N and S], were prepared Thus, a mixture of 5,7-dichloro-6-(3,5-dichloropyridin-2-yl)pyrazolo[1,5-a]pyrimidine-3- carbonitrile (preparation given) and Et3N in CH2Cl2 was stirred with L-tert-Leucinol for 14 h at room temperature to give 75% 5-chloro-6-(3,5- dichloropyridin-2-yl)-7-[(1S)-(1-hydroxymethyl-2,2- dimethylpropylamino)]pyrazolo[1,5-a]pyrimidine-3-carbonitrile. The latter as a 250 ppm spray on barley infected with Pyrenophora teres reduced infection to 7% vs. 90% for untreated controls.

IT 821023-60-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as agricultural fungicides)

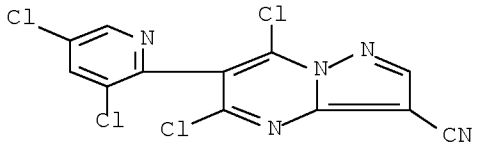
RN 821023-60-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5,7-dichloro-6-(2,5-dichloro-3-thienyl)- (CA INDEX NAME)



IT 948587-24-4P 948587-25-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as agricultural fungicides)
RN 948587-24-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 6-(3,5-dichloro-2-pyridinyl)-4,5-dihydro-7-hydroxy-5-oxo- (CA INDEX NAME)



RN 948587-25-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5,7-dichloro-6-(3,5-dichloro-2-pyridinyl)- (CA INDEX NAME)

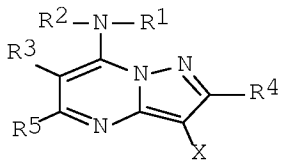


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:14401 CAPLUS Full-text
DN 142:114091
TI Preparation of pyrazolopyrimidines as microbicides
IN Gebauer, Olaf; Heinemann, Ulrich; Herrmann, Stefan; Guth, Oliver; Elbe, Hans-Ludwig; Gayer, Herbert; Greul, Joerg Nico; Hillebrand, Stefan; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005000851	A1	20050106	WO 2004-EP6609	20040618
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10339360	A1	20050217	DE 2003-10339360	20030827
	DE 10357570	A1	20050707	DE 2003-10357570	20031210
	AU 2004251845	A1	20050106	AU 2004-251845	20040618
	CA 2530378	A1	20050106	CA 2004-2530378	20040618
	EP 1641800	A1	20060405	EP 2004-740055	20040618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004011837	A	20060808	BR 2004-11837	20040618
	CN 1839136	A	20060927	CN 2004-80023978	20040618
	JP 2007506665	T	20070322	JP 2006-515995	20040618
	IN 2005DN05619	A	20071102	IN 2005-DN5619	20051205
	US 2007037828	A1	20070215	US 2005-560966	20051216
	MX 2005PA13902	A	20060224	MX 2005-PA13902	20051219
PRAI	DE 2003-10328996	A	20030627		
	DE 2003-10339360	A	20030827		
	DE 2003-10357570	A	20031210		
	WO 2004-EP6609	W	20040618		

OS MARPAT 142:114091
GI



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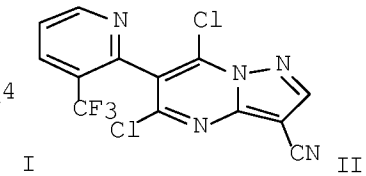

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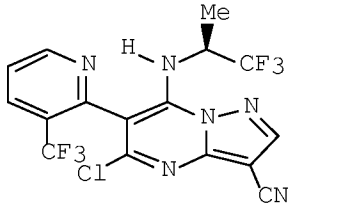


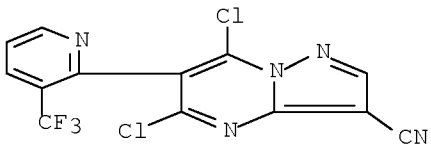
III

AB Title compds. I [R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl; R1 and R2 together form a heterocyclic ring; R3 = (un)substituted heterocycle; R4 = H, alkyl; R5 = halo; X = halo, CN, NO2, etc.] were prepared For example, condensation of (S)-2,2,2- trifluoroisopropylamine and dichloropyrazolopyrimidine II, e.g., prepared from 2-chloro-3-(trifluoromethyl)pyridine in 3-steps, afforded pyrazolopyrimidine III in 58% yield. In venturia inaequalis, i.e., apple scab, inhibition assays, 5-examples of compds. I exhibited over 90% protection at an application rate of 100 g/ha (sic).

IT 821023-58-9P 821023-59-0P 821023-60-3P
821023-61-4P 821023-65-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as microbicides)

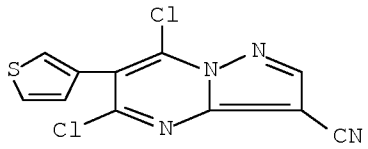
RN 821023-58-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5,7-dichloro-6-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



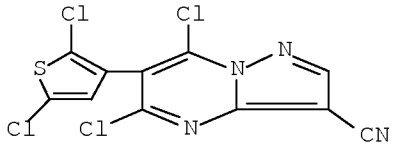
RN 821023-59-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5,7-dichloro-6-(3-thienyl)- (CA INDEX NAME)

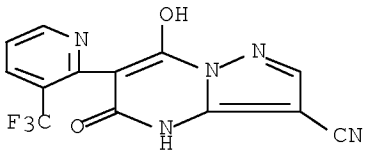


RN 821023-60-3 CAPLUS

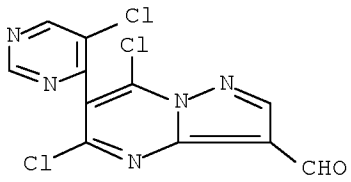
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 5,7-dichloro-6-(2,5-dichloro-3-thienyl)- (CA INDEX NAME)



RN 821023-61-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carbonitrile, 4,5-dihydro-7-hydroxy-5-oxo-6-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 821023-65-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidine-3-carboxaldehyde, 5,7-dichloro-6-(5-chloro-4-pyrimidinyl)- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

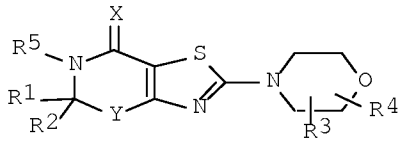
L30 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2008:10134 CAPLUS Full-text
DN 148:121697
TI Fused thiazole derivatives as PI3 kinase inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases
IN Alexander, Rikki Peter; Aujla, Pavandeep Singh; Crepy, Karen Viviane Lucile; Foley, Anne Marie; Franklin, Richard Jeremy; Haughan, Alan Findlay; Horsley, Helen Tracey; Jones, William Mark; Lallemand, Benedicte Irma Leonce Frederique; Mack, Stephen Robert; Morgan, Trevor; Pasau, Patrick Marie Ghislain; Phillips, David Jonathan; Sabin, Verity Margaret; Buckley, George Martin; Jenkins, Kerry; Perry, Benjamin Garfield
PA Ucb Pharma S.A., Belg.
SO PCT Int. Appl., 392pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008001076	A1	20080103	WO 2007-GB2390	20070626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI GB 2006-12644	A	20060626	
GB 2006-20062	A	20061010	

OS MARPAT 148:121697
GI



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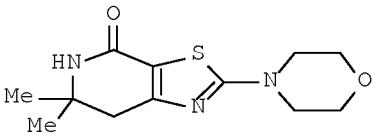


II

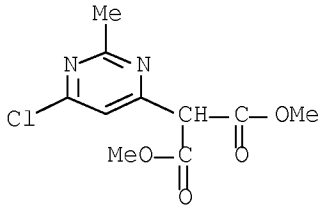
AB A series of 6,7-dihydro[1,3]thiazolo[5,4-c]pyridin-4(5H)-one derivs. of formula I , and analogs thereof, which are substituted in the 2-position by an optionally substituted morpholin-4-yl moiety, being selective inhibitors of PI3 kinase enzymes, are accordingly of benefit in medicine, for example in the treatment of inflammatory, autoimmune, cardiovascular, neurodegenerative, metabolic, oncol., nociceptive or ophthalmic conditions. Compds. of formula I wherein X is O and S; Y is (un)substituted methylene and NH and derivs.;; R1 is H and C1-6 alkyl; R2 is H, C1-6 alkyl, C1-6 alkoxy, C3-7 cycloalkyl, (hetero)aryl, etc.; R3 and R4 are independently H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-7 cycloalkyl, etc.; and their pharmaceutically acceptable salts and solvates thereof are claimed. Example compound II was prepared by a

general procedure (procedure given). All the invention compds. were evaluated for their PI3 kinase inhibitory activity.

IT 1000802-62-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of fused thiazole derivs. as PI3 kinase inhibitors useful in the treatment of kinase-mediated diseases)

RN 1000802-62-9 CAPLUS

CN Propanedioic acid, 2-(6-chloro-2-methyl-4-pyrimidinyl)-, 1,3-dimethyl ester (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1086602 CAPLUS Full-text
DN 147:385846
TI Preparation of pyridines and pyridine N-oxides as modulators of thrombin for treatment of disease related to thrombin activity
IN Player, Mark R.; Lu, Tianbao; Hu, Huaping; Zhu, Xizhen; Teleha, Christopher; Kreutter, Kevin
PA Janssen Pharmaceutica, NV, Belg.
SO PCT Int. Appl., 89pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007109459	A2	20070927	WO 2007-US63893	20070313
	WO 2007109459	A3	20080131		
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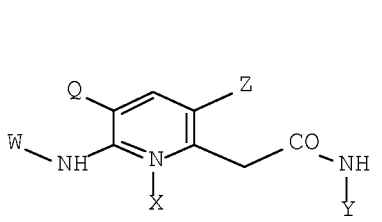
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 2007225282	A1	20070927	US 2007-685544	20070313
PRAI	US 2006-784361P	P	20060321		

OS MARPAT 147:385846
GI



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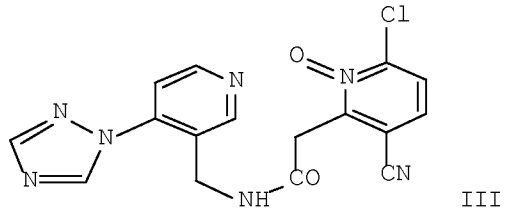
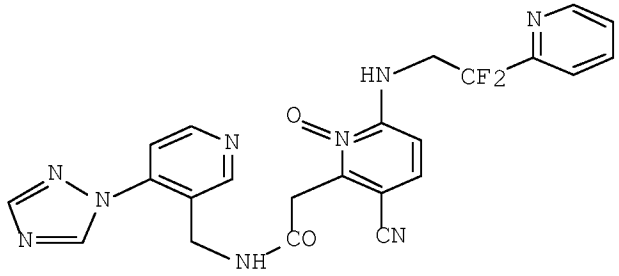


III



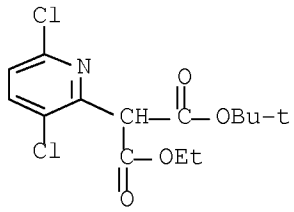
II

AB The present invention describes compds. of Formula I (wherein Z is H, F, Cl, Br, CN, C1-4 alkyl, etc.; X is absent or O; Q is H or F; W is -CH2C(R1)2R2; R1 is H, C1-4-alkyl, halo, or both R1s form a cycloalkyl ring; R2 is heterocyclyl, Ph, 4-fluorophenyl, etc.; Y is substituted benzisoxazolyl, substituted isoquinolinyl, etc.) or a pharmaceutically acceptable salt thereof, for the prophylaxis, or treatment of diseases and conditions related to thrombin activity in a mammal. Also provided are processes for preparing the compds. of Formula I. Example compound II was prepared in a 10 step synthesis culminating in the reaction of III with 2,2-difluoro-2-pyridin-2-ylethylamine. In an assay to measure inhibitory activity toward thrombin, II had an IC50 of approx. 3.3 nM.

IT 950768-41-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridines and pyridine N-oxides as modulators of thrombin for treatment of disease)

RN 950768-41-9 CAPLUS

CN Propanedioic acid, 2-(3,6-dichloro-2-pyridinyl)-, 1-(1,1-dimethylethyl) 3-ethyl ester (CA INDEX NAME)



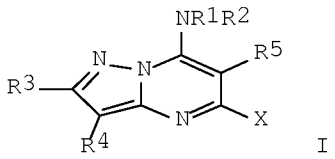
L30 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:1022145 CAPLUS Full-text
DN 147:365513
TI Preparation of pyrazolo[1,5-a]pyrimidines as agricultural fungicides
IN Dietz, Jochen; Grote, Thomas; Grammenos, Wassilios; Mueller, Bernd; Lohmann, Jan Klaas; Renner, Jens; Ulmschneider, Sarah
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 150pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007101859	A1	20070913	WO 2007-EP52104	20070306

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI	EP 2006-110739	A	20060307
	EP 2006-111155	A	20060315

OS MARPAT 147:365513
GI



I

AB The title compds. [I; R1 = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, (halo)cycloalkyl, (halo)cycloalkenyl, (halo)alkoxy, alkenyloxy, alkynyloxy, cycloalkoxy, amino, alkylamino, dialkylamino, Ph, naphthyl 5-6 membered (saturated) aromatic heterocyclyl containing 1-4 heteroatoms selected from O, N and S; R2 = CR6R7(CR8R9)q(CR10R11)pYZ ; R6-R11 = H, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, (halo)cycloalkyl, (halo)cycloalkenyl, etc.; Y = S, O; Z = H, CO2H, CHO, alkyl, (halo)alkyl, (halo)alkynyl, etc. q = 0, 1; p = 0-5; R3, R4 = H, halo, cyano, NO2, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, hydroxyalkyl, alkoxyalkyl, (halo)cycloalkyl, (halo)alkoxy, alkylthio, alkylsulfynyl, alkylsulfonyl, CHO, thiocarbamoyl, alkylcarbonyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxyiminocarbonyl, hydroxyiminoalkyl, etc.; X = H, cyano, (halo)alkyl, (halo)alkoxy; R5 = 5-6 membered (saturated) aromatic (substituted) heterocyclyl containing 1-4 heteroatoms selected from O, N and S], were prepared Thus, a mixture of 5,7-dichloro-6-(3,5-dichloropyridin-2-yl)pyrazolo[1,5-a]pyrimidine-3- carbonitrile (preparation given) and Et3N in CH2Cl2 was stirred with L-tert-Leucinol for 14 h at room temperature to give 75% 5-chloro-6-(3,5- dichloropyridin-2-yl)-7-[(1S)-(1-

hydroxymethyl-2,2- dimethylpropylamino)]pyrazolo[1,5-a]pyrimidine-3-carbonitrile. The latter as a 250 ppm spray on barley infected with Pyrenophora teres reduced infection to 7% vs. 90% for untreated controls.

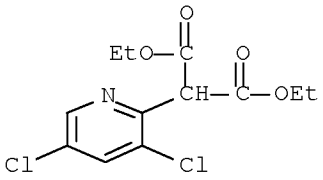
IT 120569-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as agricultural fungicides)

RN 120569-92-8 CAPLUS

CN Propanedioic acid, 2-(3,5-dichloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)

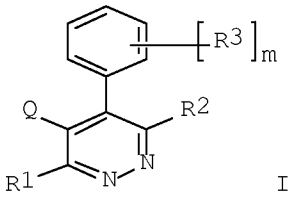


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2007:641268 CAPLUS Full-text
DN 147:72775
TI Preparation of pyridazine compounds as agrochemical fungicides
IN Manabe, Akio
PA Sumitomo Chemical Company, Limited, Japan
SO PCT Int. Appl., 86pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007066601	A1	20070614	WO 2006-JP324132	20061128
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	JP 2007254456	A	20071004	JP 2006-321455	20061129
PRAI	JP 2005-353177	A	20051207		
	JP 2006-44993	A	20060222		

OS MARPAT 147:72775
GI



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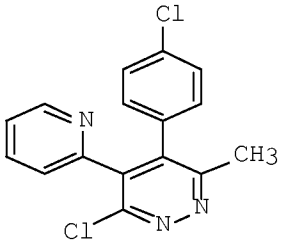


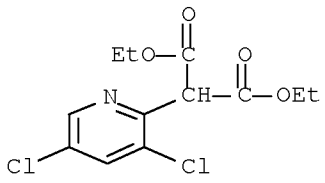
II

AB Title compds. I [R1 = Cl, Br, alkyl, etc.; R2 = alkyl, R3 = halo, nitro, cyano, etc.; m = 0-5; Q = aromatic heterocycle containing at least one

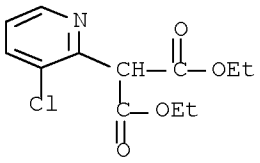
nitrogen atom (wherein aromatic heterocycle is optionally substituted with halo, nitro, cyano, etc.)] were prepared For example, reaction of 4-(4-chlorophenyl)-5-hydroxy-5-methyl-3-(2-pyridyl)-2(5H)-furanone, e.g., prepared from 4'-chloropropiophenone in 2 steps, with hydrazine hydrate followed by treatment with POCl3 afforded compound II. Compound II controlled Alternaria brassicicola by ≥70% at 500 ppm.

IT 120569-92-8P 940933-22-2P 940933-26-6P
940933-35-7P 940933-39-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridazine compds. as agrochem. fungicides)

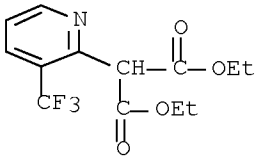
RN 120569-92-8 CAPLUS
CN Propanedioic acid, 2-(3,5-dichloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



RN 940933-22-2 CAPLUS
CN Propanedioic acid, 2-(3-chloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)

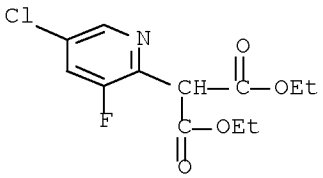


RN 940933-26-6 CAPLUS
CN Propanedioic acid, 2-[3-(trifluoromethyl)-2-pyridinyl]-, 1,3-diethyl ester (CA INDEX NAME)

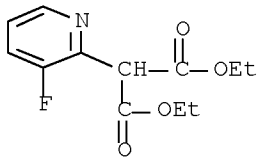


RN 940933-35-7 CAPLUS
CN Propanedioic acid, 2-(5-chloro-3-fluoro-2-pyridinyl)-, 1,3-diethyl ester

(CA INDEX NAME)



RN 940933-39-1 CAPLUS
CN Propanedioic acid, 2-(3-fluoro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



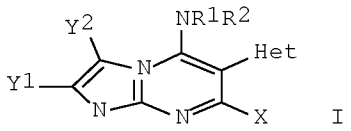
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:1229159 CAPLUS Full-text
DN 146:7983
TI Preparation of 7-amino-6-heteroarylimidazo[1,2-a]pyrimidines as agrochemical fungicides.
IN Wagner, Oliver
PA Basf Aktiengesellschaft, Germany
SO PCT Int. Appl., 73pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006122740	A2	20061123	WO 2006-EP4573	20060515
WO 2006122740	A3	20070222		

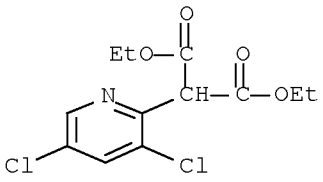
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI DE 2005-102005022560 A 20050517
OS MARPAT 146:7983
GI



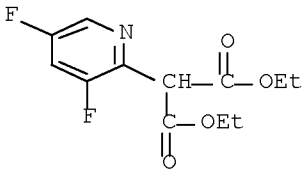
I

AB Title compds. [I; Het = (substituted) 5-6 membered heteroaryl containing 1-4 of O, S, N; X = H, OH, halo, cyano, NR3R4, (substituted) alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, alkenyl, alkynyl; R1-R4 = H, (substituted) alkyl, haloalkyl, alkoxy, cycloalkyl, cycloalkoxy, bicycloalkyl, halocycloalkyl, alkenyl, alkynyl, alkynyloxy, alkenyloxy, Ph, naphthyl, 5-6 membered heterocyclyl, etc.; R1R2N = (substituted) 5-6 membered heterocyclyl, heteroaryl; Y1, Y2 = H, halo, cyano, alkyl, haloalkyl, cycloalkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, haloalkoxy], were prepared Tested I (e.g. Y1, Y2 = H; NR1R2 = 4-methylpiperidin-1-yl; Het = 3,5-dichloropyridin-2-yl; X = Cl) at 125 ppm gave complete control of Alternaria solani.
IT 120569-92-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoheteroarylimidazopyrimidines as agrochem. fungicides)
RN 120569-92-8 CAPLUS
CN Propanedioic acid, 2-(3,5-dichloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)

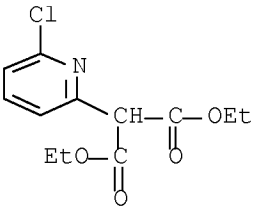


IT 896107-33-8P 896107-35-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoheteroarylimidazopyrimidines as agrochem. fungicides)

RN 896107-33-8 CAPLUS
CN Propanedioic acid, 2-(3,5-difluoro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



RN 896107-35-0 CAPLUS
CN Propanedioic acid, 2-(6-chloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



L30 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:634725 CAPLUS Full-text
DN 145:103571
TI Process for the preparation of 2-pyridylethylcarboxamide derivatives
IN Lhermitte, Frederic; Coqueron, Pierre-Yves; Desbordes, Philippe; Himmler, Thomas
PA Bayer Cropscience S. A., Fr.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006067103	A2	20060629	WO 2005-EP56895	20051219
	WO 2006067103	A3	20061116		
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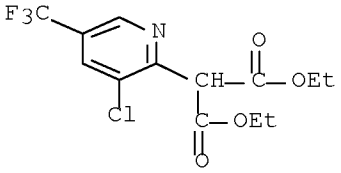
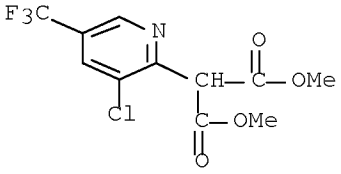
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1831169	A2	20070912	EP 2005-823830	20051219
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101080391	A	20071128	CN 2005-80043576	20051219
	IN 2007DN03483	A	20070831	IN 2007-DN3483	20070510
	MX 200707105	A	20070808	MX 2007-7105	20070613
	KR 2007087668	A	20070828	KR 2007-716637	20070720
PRAI	EP 2004-356203	A	20041221		
	WO 2005-EP56895	W	20051219		

OS CASREACT 145:103571; MARPAT 145:103571
AB N-[2-(2-pyridyl)ethyl]carboxamide derivs. 2-pyridyl-CH2CHR1NR2CO-A [the pyridyl ring may be substituted; R1 is H, alkyl, haloalkyl, or alkoxycarbonyl; R2 is H or cyclopropyl; A is (un)substituted Ph or non-fused heterocyclyl] were prepared by treating 2-pyridyl-CHR3CO2-Alk (R3 is H or CO2-Alk, where Alk is alkyl) with AcOCHR1NR2CO-A, followed by decarboxylation. Thus, treatment of di-Et 3-chloro-5-(trifluoromethyl)-2- pyridylmalonate (I) with N-acetoxy-2-(trifluoromethyl)benzamide (II) in THF containing NaH and decarboxylation (32% HCl/KCl/NMP) afforded N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridyl]ethyl]-2-(trifluoromethyl)benzamide. Reactant I was prepared by reaction of 2,3-dichloro-5-(trifluoromethyl)pyridine with di-Et malonate and reactant II was prepared from 2-(trifluoromethyl)benzoyl chloride by amidation, hydroxymethylation with formaldehyde, and acetylation.
IT 172527-71-8P 477859-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylethylcarboxamide derivs.)
RN 172527-71-8 CAPLUS
CN Propanedioic acid, [3-chloro-5-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



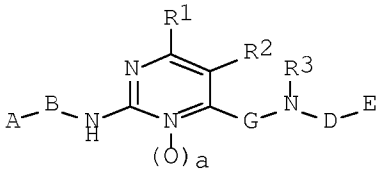
RN 477859-76-0 CAPLUS
CN Propanedioic acid, [3-chloro-5-(trifluoromethyl)-2-pyridinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



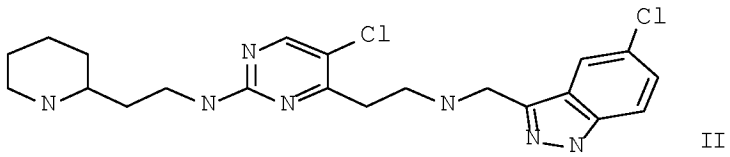
L30 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:630770 CAPLUS Full-text
DN 145:83378
TI Preparation of pyrimidine derivatives as thrombin inhibitors for treatment of thrombin-related diseases
IN Bulat, Stephan; Bosio, Sara; Feurer, Achim; Papadopoulos, Michael Arthur; Rosenbaum, Claudia; Matassa, Victor Giulo
PA Santhera Pharmaceuticals (Schweiz) GmbH, Switz.
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1674464	A1	20060628	EP 2004-30722	20041223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
WO 2006066899	A1	20060629	WO 2005-EP13806	20051221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI EP 2004-30722 A 20041223
OS MARPAT 145:83378
GI



I



II

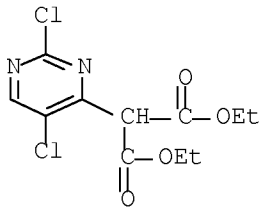
AB The invention relates to compds. of formula I (wherein a = 0-1; R1 = H, halogen, CN, CNO, or (un)substituted C1-4-alkyl; R2 = H, halogen, CN, CNO, C1-6-alkyl, etc.; R3 = H; (un)substituted C1-4-alkyl, or (un)substituted C3-6 cycloalkyl; A = Ph, naphthyl, heterocycle, and heterobicycle, all optionally

substituted; B and D = substituents based on C1-6-alkyl; E = Ph, naphthyl, and heterocycle, all optionally substituted; G = -CH(R37)-C(R38R39)-, -CH(R37)-C(R4OR41)-C(R38R39)-; R37, R40, R41 = H, F, C1-4 alkyl, etc.; wherein R38, R39 = H, C1-4 alkyl, etc.). Said compds. are useful as thrombin inhibitors. The invention also relates to the production and use thereof as medicament. For example, II was prepared in 7 steps from an initial reaction of diethylmalonate and 2,4,5- trichloropyrimidine via piperidine-1-carboxylic acid and 1H-indazole intermediates. I exhibited thrombin Ki values of ≤ 10 μM.

IT 894095-08-0P, 2-(2,5-Dichloropyrimidin-4-yl)malonic acid diethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrimidine derivs. as thrombin inhibitors for treatment of thrombin-related diseases)

RN 894095-08-0 CAPLUS

CN Propanedioic acid, (2,5-dichloro-4-pyrimidinyl)-, diethyl ester (9CI) (CA INDEX NAME)

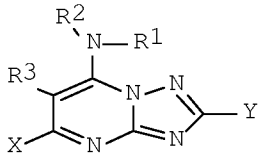


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:627599 CAPLUS Full-text
DN 145:103702
TI Preparation of 7-amino-6-heteroaryl-1,2,4-triazolo[1,5-A]pyrimidines as agrochemical fungicides
IN Wagner, Oliver; Grote, Thomas; Rheinheimer, Joachim; Nave, Barbara; Stierl, Reinhard
PA BASF Aktiengesellschaft, Germany
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006066818	A2	20060629	WO 2005-EP13577	20051216
	WO 2006066818	A3	20061102		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	EP 1828191	A2	20070905	EP 2005-816549	20051216
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	CN 101080409	A	20071128	CN 2005-80043367	20051216
	IN 2007KN02126	A	20070907	IN 2007-KN2126	20070611
PRAI	DE 2004-102004060958	A	20041217		
	DE 2004-102004062199	A	20041223		
	WO 2005-EP13577	W	20051216		

OS MARPAT 145:103702
GI



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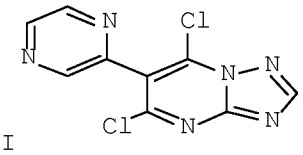
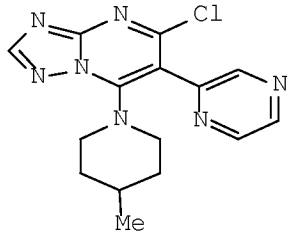


II

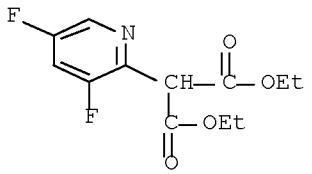


III

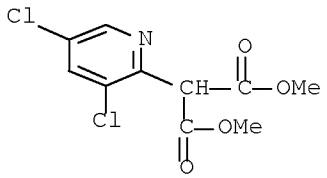
AB Title compds. I [R3 = pyridinyl, pyridazinyl, pyrazinyl, etc.; R1, R2 = H, alkyl, haloalkyl, etc.; X = H, OH, halo, etc.; Y = H, halo, CN, etc.] were prepared For example, condensation of 4-methylpiperidine and dichloropyrimidine II afforded triazolopyrimidine III in 48% yield. In alternaria solani tomato protection assays, 42-examples of compds. I at 250 ppm exhibited 90% protection after 5-days.

IT 896107-33-8P 896107-34-9P 896107-35-0P
896107-47-4P 896107-50-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoheteroaryltriazolopyrimidines as agrochem. fungicides)

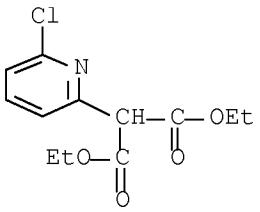
RN 896107-33-8 CAPLUS
CN Propanedioic acid, 2-(3,5-difluoro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



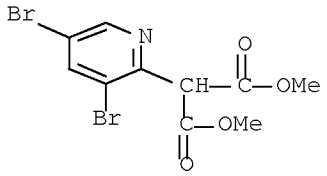
RN 896107-34-9 CAPLUS
CN Propanedioic acid, (3,5-dichloro-2-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



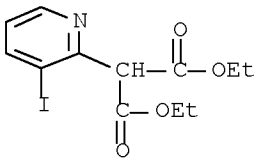
RN 896107-35-0 CAPLUS
CN Propanedioic acid, 2-(6-chloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



RN 896107-47-4 CAPLUS
CN Propanedioic acid, (3,5-dibromo-2-pyridinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



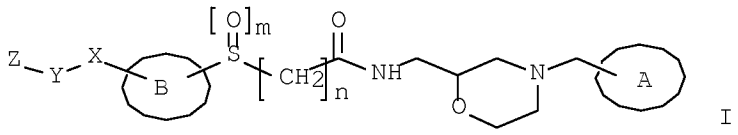
RN 896107-50-9 CAPLUS
CN Propanedioic acid, (3-iodo-2-pyridinyl)-, diethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2006:240494 CAPLUS Full-text
DN 144:312096
TI Preparation of morpholine compounds as CCR3 antagonists
IN Tanaka, Yoshihito; Takeda, Shuzo; Higashi, Hidemitsu; Matsuura, Mamoru; Kobayashi, Fujio; Hamada, Maiko; Tanaka, Minoru
PA Mitsubishi Pharma Corporation, Japan
SO PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028284	A1	20060316	WO 2005-JP17002	20050908
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2579207	A1	20060316	CA 2005-2579207	20050908
EP 1801108	A1	20070627	EP 2005-783689	20050908
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101014580	A	20070808	CN 2005-80030137	20050908
IN 2007CN01421	A	20070831	IN 2007-CN1421	20070405
KR 2007099528	A	20071009	KR 2007-707863	20070406
US 2007265257	A1	20071115	US 2007-662228	20070413
PRAI JP 2004-261655	A	20040908		
WO 2005-JP17002	W	20050908		

OS MARPAT 144:312096
GI



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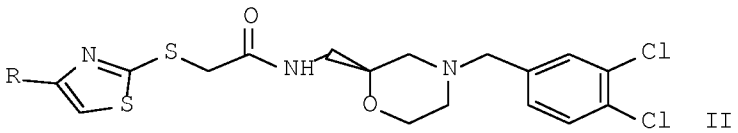


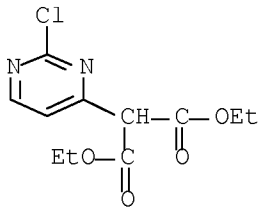
II

AB Title compds. I [ring A = (un)substituted aryl, (un)substituted heteroaryl; ring B = (un)substituted arylene, (un)substituted divalent heterocycle, (un)substituted cycloalkylene; m = 0-2; n = 1-5; X = bond, -NH-, -CO-, etc.; Y = bond, -NH-, -CO-, etc.; Z = H, halo, (un)substituted alkyl, etc.] were prepared For example, reaction of (2S)-N-[[4-(3,4- dichlorobenzyl)morpholin-2-yl]methyl]chloroacetamide·HCl, e.g., prepared from (2S)-2-aminomethyl-4-(3,4-dichlorobenzyl)morpholine·2HC l in 2 steps, with 4-ethoxycarbonyl-2-mercaptothiazole followed by hydrolysis using NaOH afforded compound II [R = CO2H]. In eosinophil-chemokine binding inhibition assays, the IC50 value of compound II [R = CH2CO2H] was 2.4 nmol/L. Compds. I are claimed useful for the treatment of asthma, sinusitis, etc.

IT 879403-14-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of morpholine compds. as CCR3 antagonists for treatment of asthma, sinusitis, etc.)

RN 879403-14-2 CAPLUS

CN Propanedioic acid, (2-chloro-4-pyrimidinyl)-, diethyl ester (9CI) (CA INDEX NAME)

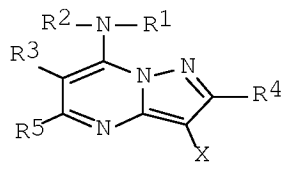


RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2005:14401 CAPLUS Full-text
DN 142:114091
TI Preparation of pyrazolopyrimidines as microbicides
IN Gebauer, Olaf; Heinemann, Ulrich; Herrmann, Stefan; Guth, Oliver; Elbe, Hans-Ludwig; Gayer, Herbert; Greul, Joerg Nico; Hillebrand, Stefan; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005000851	A1	20050106	WO 2004-EP6609	20040618
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10339360	A1	20050217	DE 2003-10339360	20030827
	DE 10357570	A1	20050707	DE 2003-10357570	20031210
	AU 2004251845	A1	20050106	AU 2004-251845	20040618
	CA 2530378	A1	20050106	CA 2004-2530378	20040618
	EP 1641800	A1	20060405	EP 2004-740055	20040618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	BR 2004011837	A	20060808	BR 2004-11837	20040618
	CN 1839136	A	20060927	CN 2004-80023978	20040618
	JP 2007506665	T	20070322	JP 2006-515995	20040618
	IN 2005DN05619	A	20071102	IN 2005-DN5619	20051205
	US 2007037828	A1	20070215	US 2005-560966	20051216
	MX 2005PA13902	A	20060224	MX 2005-PA13902	20051219
PRAI	DE 2003-10328996	A	20030627		
	DE 2003-10339360	A	20030827		
	DE 2003-10357570	A	20031210		
	WO 2004-EP6609	W	20040618		

OS MARPAT 142:114091
GI



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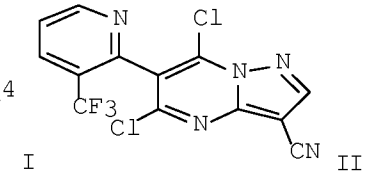

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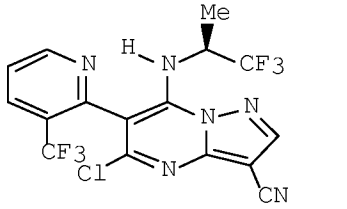


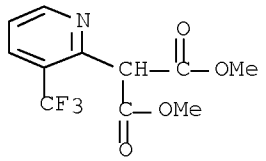
III

AB Title compds. I [R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl; R1 and R2 together form a heterocyclic ring; R3 = (un)substituted heterocycle; R4 = H, alkyl; R5 = halo; X = halo, CN, NO2, etc.] were prepared For example, condensation of (S)-2,2,2- trifluoroisopropylamine and dichloropyrazolopyrimidine II, e.g., prepared from 2-chloro-3-(trifluoromethyl)pyridine in 3-steps, afforded pyrazolopyrimidine III in 58% yield. In venturia inaequalis, i.e., apple scab, inhibition assays, 5-examples of compds. I exhibited over 90% protection at an application rate of 100 g/ha (sic).

IT 809276-86-6P 809276-87-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolopyrimidines as microbicides)

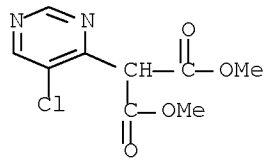
RN 809276-86-6 CAPLUS

CN Propanedioic acid, [3-(trifluoromethyl)-2-pyridinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 809276-87-7 CAPLUS

CN Propanedioic acid, (5-chloro-4-pyrimidinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1154715 CAPLUS Full-text
DN 142:93845
TI Method for producing triazolopyrimidines for use in controlling undesirable microorganisms
IN Gebauer, Olaf; Guth, Oliver; Heinemann, Ulrich; Greul, Joerg Nico; Herrmann, Stefan; Gayer, Herbert; Elbe, Hans-Ludwig; Hillebrand, Stefan; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-heinz
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113342	A1	20041229	WO 2004-EP6371	20040614
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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10328481	A1	20050113	DE 2003-10328481	20030625
	EP 1644374	A1	20060412	EP 2004-739855	20040614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1812991	A	20060802	CN 2004-80018042	20040614
	BR 2004011741	A	20060829	BR 2004-11741	20040614
	JP 2007506659	T	20070322	JP 2006-515919	20040614
	MX 2005PA13496	A	20060519	MX 2005-PA13496	20051213
	IN 2005CN03514	A	20070608	IN 2005-CN3514	20051223
	US 2007179295	A1	20070802	US 2006-560437	20060512
PRAI	DE 2003-10328481	A	20030625		
	WO 2004-EP6371	W	20040614		

OS MARPAT 142:93845
GI

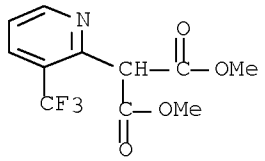
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel triazolopyrimidines I [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle; R2 = H, alkyl; NR1R2 = heterocycle; R3 = halogen, (un)substituted alkyl, cycloalkyl; R4 = (un)substituted heterocycle; X = halogen], to a method for producing said substances and to their use for controlling undesirable microorganisms. The invention also relates to novel intermediate products of the formulas II, III, IV [R5 = C1-4-alkyl; R6 = halogen, haloalkyl] and V [R7 = halogen, haloalkyl; R8, R9 = H, F, Cl, Br, Me, Et, OMe], in addition to methods for producing said substances. A procedure for the preparation of I is characterized by the reaction of dihalotriazolopyrimidines II (Y1 = halogen) with R1R2NH optionally in the presence of a solvent, acid acceptor and/or a catalyst; pyrimidines II are prepared from diols III; diols III are prepared from R4CH(CO2R5)2, e.g. IV

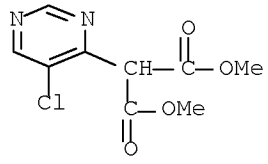
and V, via cyclocondensation with 3-amino-5-R3-1,2,4-triazoles; malonate IV is prepared from 3-R6-2-Y2-pyridine and CH2(CO2R5)2; malonate V is prepared from pyrimidine VI (Y3 = halogen) and CH2(CO2R5)2. Thus, triazolopyrimidine (S)-I [R1 = CHMeCF3-(S), R2 = H, R3 = Me, R4 = 3-(triflouromethyl)pyridin- 2-yl, X = Cl] was prepared from II [R2 = H, R3 = Me, R4 = 3-(triflouromethyl)pyridin-2-yl, X = Y1 = Cl] via regioselective amination with NHCHMeCF3-(S) in MeCN containing KF. Dichlorotriazolopyrimidine II [R2 = H, R3 = Me, R4 = 3-(triflouromethyl)pyridin-2-yl, X = Y1 = Cl] was prepared from 2-chloro-3-(trifluoromethyl)pyridine via sequential arylation of CH2(CO2Me)2 in dioxane containing NaH and catalytic CuCl, cyclocondensation of the resulting heterocyclylmalonate IV [R5 = Me, R6 = CF3] with 3-amino-5-cyclopropyl-1,2,4-triazole in the presence Bu3N and chlorination of the triazolopyrimidinediol III [R3 = Me, R4 = 3- (triflouromethyl)pyridin-2-yl] with POCl3. The antimicrobial activities of I were determined {over 90% inhibition vs. Podosphaera leucotricha at 100 g/ha, over 90% inhibition vs. Sphaerotheca fuliginea at 750 g/ha and over 85% inhibition vs. Erysiphe graminis at 500 g/ha for (S)-I [R1 = CHMeCF3-(S), R2 = H, R3 = Me, R4 = 3-(triflouromethyl)pyridin-4-yl, X = Cl]; over 90% inhibition vs. Podosphaera leucotricha, Uncinula necator and Venturia inaequalis at 100 g/ha for (S)-I [R1 = CHMeCF3-(S), R2 = H, R3 = cyclopropyl, R4 = 5-chloropyrimidin-4-yl, X = Cl]}.

IT 809276-86-6P 809276-87-7P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with aminotrizole derivative; preparation of
triazolopyrimidines for use in controlling pathogenic microorganisms)

RN 809276-86-6 CAPLUS
CN Propanedioic acid, [3-(trifluoromethyl)-2-pyridinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 809276-87-7 CAPLUS
CN Propanedioic acid, (5-chloro-4-pyrimidinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1154714 CAPLUS Full-text
DN 142:93844
TI Method for producing triazolopyrimidines and to their use for controlling undesirable microorganisms
IN Gebauer, Olaf; Heinemann, Ulrich; Greul, Joerg Nico; Herrmann, Stefan; Guth, Oliver; Elbe, Hans-Ludwig; Gayer, Herbert; Hillebrand, Stefan; Wachendorff-Neumann, Ulrike; Kuck, Karl-Heinz; Dahmen, Peter
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113341	A2	20041229	WO 2004-EP6369	20040614
	WO 2004113341	A3	20050512		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10328173	A1	20050113	DE 2003-10328173	20030624
	EP 1638974	A2	20060329	EP 2004-739853	20040614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1809571	A	20060726	CN 2004-80017546	20040614
	BR 2004011972	A	20060829	BR 2004-11972	20040614
	JP 2007506657	T	20070322	JP 2006-515917	20040614
	MX 2005PA13743	A	20060308	MX 2005-PA13743	20051215
	US 2006281767	A1	20061214	US 2006-561174	20060606
PRAI	DE 2003-10328173	A	20030624		
	WO 2004-EP6369	W	20040614		

OS MARPAT 142:93844
GI

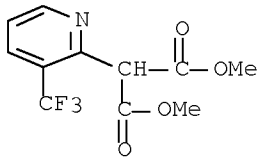
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel triazolopyrimidines I [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl; R2 = H, halogen, (un)substituted alkyl, cycloalkyl; R3 = (un)substituted heteroalkyl; G = SOn; X = halogen, CN, (un)substituted alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; n = 0 - 2], to a method for producing said substances and to their use for controlling undesirable microorganisms. The procedure for the preparation of I is characterized by the reaction of dihalotriazolopyrimidines II (X1, Y1 = halogen) with R1GH to give I (X = X1) which is further reacted with (i) R4-M [R4 = (un)substituted alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, CN; M = Na, K]; or (ii) R5Mg-Hal [R5 = (un)substituted alkyl; Hal = Cl, Br] in a dilute medium. The invention also relates to novel

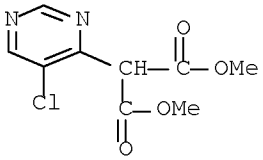
intermediate products of the formulas III, IV (R6 = C1-4-alkyl; R7 = alkyl, haloalkyl) and V (R8 = halo, haloalkyl; R9, R10 = H, F, Cl, Br, Me, Et, OMe) , in addition to methods for producing said substances. Thus, triazolopyrimidine I (R1 = CHMeCHMe2, R2 = H, R3 = 4-chloro-3-pyrimidinyl, G = S, X = Cl) was prepared from dihalotriazolopyrimidine II (R2 = H, R3 = 4-chloro-3-pyrimidinyl, X1 = Y1 = Cl) via reaction with Me2CHCHMeSH in MeCN containing KF and K2CO3. The antimicrobial activity of I (R1 = CHMeCHMe2, R2 = H, R3 = 4-chloro-3-pyrimidinyl, G = S, X = Cl) was determined [100% inhibition vs. Podosphaera leucotricha at 100g/ha; 90% inhibition vs. Venturia inaequalis at 100g/ha; ED50 = 10 ppm vs. Botrytis cinerea].

IT 809276-86-6P, Dimethyl 2-[3-(trifluoromethyl)pyridin-2-yl]malonate
809276-87-7P, Dimethyl 2-(5-chloropyrimidin-4-yl)malonate
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with 3-amino-1,2,4-triazole derivs.; preparation of triazolopyrimidines for use in controlling pathogenic microorganisms)

RN 809276-86-6 CAPLUS
CN Propanedioic acid, [3-(trifluoromethyl)-2-pyridinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 809276-87-7 CAPLUS
CN Propanedioic acid, (5-chloro-4-pyrimidinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1154559 CAPLUS Full-text
DN 142:70279
TI Preparation of triazolopyrimidine derivatives as fungicides
IN Gebauer, Olaf; Heinemann, Ulrich; Greul, Joerg Nico; Herrmann, Stefan; Guth, Oliver; Gayer, Herbert; Elbe, Hans-Ludwig; Hillebrand, Stefan; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Kuck, Karl-heinz
PA Bayer Cropscience Aktiengesellschaft, Germany; et al.
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004112480	A2	20041229	WO 2004-EP6368	20040614
	WO 2004112480	A3	20050922		
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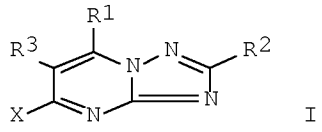
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10328171	A1	20050113	DE 2003-10328171	20030624
	EP 1638400	A2	20060329	EP 2004-736746	20040614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	CN 1812717	A	20060802	CN 2004-80017907	20040614
	BR 2004011736	A	20060829	BR 2004-11736	20040614
	JP 2007506656	T	20070322	JP 2006-515916	20040614
	MX 2005PA13177	A	20060623	MX 2005-PA13177	20051206
	US 2007179162	A1	20070802	US 2006-560438	20060425
PRAI	DE 2003-10328171	A	20030624		
	WO 2004-EP6368	W	20040614		

OS MARPAT 142:70279
GI



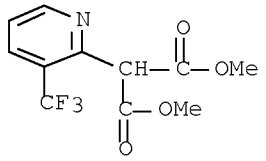
I

AB The triazolopyrimidines I [R1 = (un)substituted alkyl,alkenyl, alkynyl, etc; R2 = H, halo, (un)substituted (cyclo)alkyl; R3 = (un)substituted heterocyclyl; X = halo, CN, (un)substituted alkyl, alkoxy, alkylthio, alkylsulfinyl or alkylsulfonyl] are prepd/ as fungicides.
IT 809276-86-6 809276-97-7
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant in preparation of triazolopyrimidine derivative fungicide)

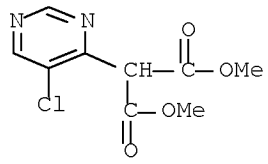
RN 809276-86-6 CAPLUS

CN Propanedioic acid, [3-(trifluoromethyl)-2-pyridinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 809276-87-7 CAPLUS

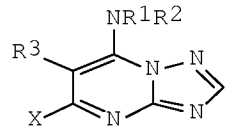
CN Propanedioic acid, (5-chloro-4-pyrimidinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:1080907 CAPLUS Full-text
DN 142:56343
TI Preparation of triazolopyrimidines as microbicides
IN Gebauer, Olaf; Heinemann, Ulrich; Elbe, Hans-Ludwig; Gayer, Herbert; Herrmann, Stefan; Greul, Joerg Nico; Krueger, Bernd-Wieland; Hillebrand, Stefan; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz
PA Bayer Cropscience Aktiengesellschaft, Germany
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004108727	A1	20041216	WO 2004-EP5876	20040601
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10325133	A1	20041223	DE 2003-10325133	20030604
EP 1641798	A1	20060405	EP 2004-735570	20040601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004010906	A	20060627	BR 2004-10906	20040601
CN 1802379	A	20060712	CN 2004-80015481	20040601
JP 2006526587	T	20061124	JP 2006-508237	20040601
IN 2005DN05123	A	20070817	IN 2005-DN5123	20051108
MX 2005PA12951	A	20060213	MX 2005-PA12951	20051130
US 2007275985	A1	20071129	US 2007-559102	20070322
PRAI DE 2003-10325133	A	20030604		
WO 2004-EP5876	W	20040601		

OS MARPAT 142:56343
GI



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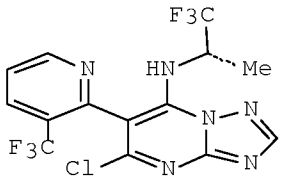


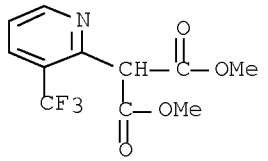
II

AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl; R2 = H, alkyl; R1R2N = (substituted) heterocyclyl; R3 =

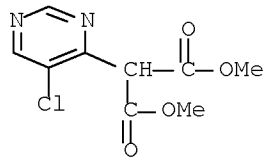
(substituted) pyridyl, pyrimidinyl; X = halo], were prepared Thus, 5,7-dichloro-6-(3-trifluoromethylpyridin-2-yl)-[1,2,4]-triazolo[1,5- a]pyrimidine (preparation given) was stirred 2 h at 80° with KF in MeCN; the mixture was cooled to 0° and (S)-2,2,2-trifluoroisopropylamine was added followed by stirring at 80° for 18 h to give 60.4% title compound (II). II and other I at 100 g/ha gave ≥90% protection against Podosphaera leucotricha on apples.

IT 809276-86-6P 809276-87-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of triazolopyrimidines as microbicides)

RN 809276-86-6 CAPLUS
CN Propanedioic acid, [3-(trifluoromethyl)-2-pyridinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



RN 809276-87-7 CAPLUS
CN Propanedioic acid, (5-chloro-4-pyrimidinyl)-, dimethyl ester (9CI) (CA INDEX NAME)

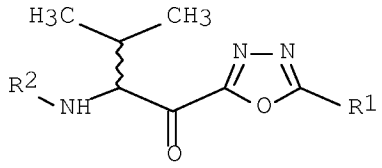


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:753404 CAPLUS Full-text
DN 141:277626
TI Preparation of oxadiazole derivatives as elastase inhibitors
IN Torisu, Kazuhiko; Kobayashi, Kaoru; Naganawa, Atsushi; Sekioka, Tomohiko; Kawabata, Kazuhito
PA Ono Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 207 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004256473	A	20040916	JP 2003-50563	20030227
PRAI JP 2003-50563		20030227		

OS MARPAT 141:277626
GI



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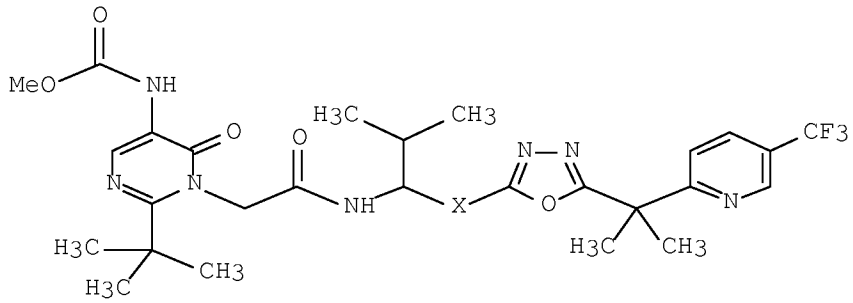
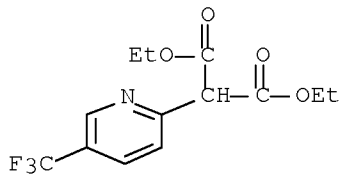


II

AB Title compds. I [R1 = monocyclic carbocycle, etc.; R2 = COR12, etc.; R12 = alkyl, etc.] were prepared For example, oxidation of compound II [X = CH(OH)], e.g., prepared from 2-chloro-5-(trifluoromethyl)pyridine in 7 steps, using Dess-Martin reagent gave compound II [X = CO]. In elastase inhibition assays, the IC50 values of compds. I were ≤10 μM. Compds. I are claimed useful for the treatment of chronic articular rheumatism, myocardial infarction, etc. Formulations are given.

IT 153704-26-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxadiazole derivs. as elastase inhibitors for treatment of chronic articular rheumatism and myocardial infarction)

RN 153704-26-8 CAPLUS
CN Propanedioic acid, [5-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2004:101166 CAPLUS Full-text
DN 140:146163
TI Preparation of triazolopyrimidine derivatives as fungicides
IN Masumizu, Tatsuya; Tajino, Hidehiro; Murakami, Hideyuki; Watanabe, Masaru; Wakabayashi, Hitoshi; Hiramatsu, Motohiro; Tahara, Tomomi
PA Hokko Chemical Industry Co., Ltd., Japan
SO PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004011467	A1	20040205	WO 2003-JP9615	20030729
W: JP, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
PRAI JP 2002-219751	A	20020729		
JP 2002-229836	A	20020807		
JP 2002-249906	A	20020829		

OS MARPAT 140:146163
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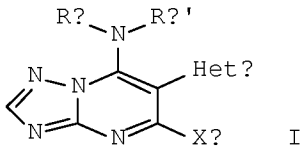
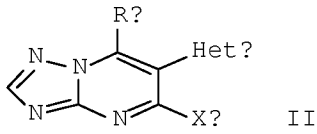
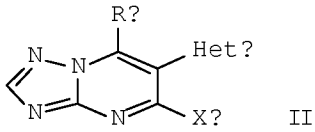


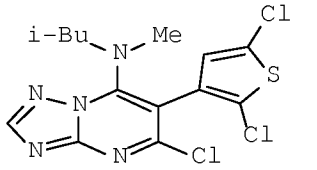
I



II

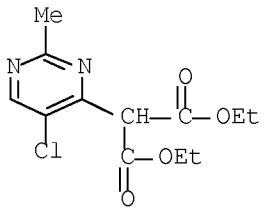


III



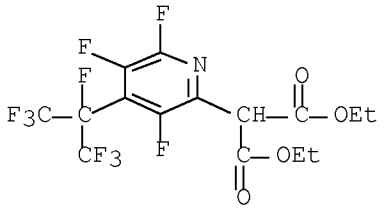
IV

AB The title compds. I [wherein HetA = (un)substituted heterocyclyl; XA = halo, CN, alkoxy, alkylthio, alkyl-SO-, alkyl-SO2-, alkylamino, or alkoxycarbonyl; RA and RA' = independently (un)substituted alkyl, alkenyl, alkynyl, or Ph], II [wherein HetB = (un)substituted heterocyclyl; XB = halo, CN, alkoxy, alkylthio, alkyl-SO-, alkyl-SO2-, alkylamino, or alkoxycarbonyl; RB = (un)substituted heterocyclyl], and III [wherein HetC = (un)substituted heterocyclyl; XC = halo, CN, alkoxy, or alkylthio; RC = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, or (un)substituted aralkyl] are prepared as fungicides for agricultural and horticultural use. For example, the compound IV was prepared in a multi-step synthesis. I-III showed significant antifungal effect against pyricularia oryzae.
IT 653584-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of triazolopyrimidine derivs. as fungicides)
RN 653584-05-5 CAPLUS
CN Propanedioic acid, (5-chloro-2-methyl-4-pyrimidinyl)-, diethyl ester (9CI) (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:746701 CAPLUS Full-text
DN 136:247470
TI Polyhalogenated heterocyclic compounds. Part 45. Reactions of perfluoro-(4-isopropylpyridine) with oxygen, nitrogen and carbon nucleophiles
AU Chambers, R. D.; Hassan, M. A.; Hoskin, P. R.; Kenwright, A.; Richmond, P.; Sandford, G.
CS Department of Chemistry, University of Durham, Durham, DH1 3LE, UK
SO Journal of Fluorine Chemistry (2001), 111(2), 135-146
CODEN: JFLCAR; ISSN: 0022-1139
PB Elsevier Science S.A.
DT Journal
LA English
OS CASREACT 136:247470
AB Reactions between perfluoro-(4-isopropylpyridine) and a variety of oxygen-, nitrogen- and carbon-centered nucleophiles are reported. A range of mono-, di- and tri-substituted perfluoro-(4-isopropylpyridine) derivs. were synthesized for which yields and regiochem. depended on reaction conditions. The barriers to rotation for the perfluoro-iso-Pr group in several pyridine systems were measured by 19F NMR spin-saturation transfer expts.
IT 403981-23-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(nucleophilic substitution of perfluoro(isopropylpyridine) with oxygen, nitrogen, and carbon nucleophiles and study of rotational barriers by 19F NMR)
RN 403981-23-7 CAPLUS
CN Propanedioic acid, [3,5,6-trifluoro-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)

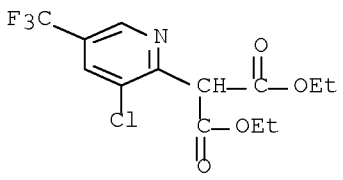


RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

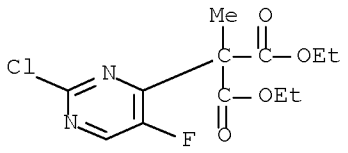
L30 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2001:136943 CAPLUS Full-text
DN 134:174246
TI Preparation of pyridine derivative fungicides
IN Cooke, Tracey; Hardy, David; Moloney, Brian; Thomas, Peter Stanley; Steele, Chris Richard; Briggs, Geoffrey Gower
PA Aventis CropScience GmbH, Germany
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001011965	A1	20010222	WO 2000-EP8143	20000809
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000013371	A	20020507	BR 2000-13371	20000809
	EP 1204323	A1	20020515	EP 2000-960499	20000809
	EP 1204323	B1	20040714		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2003506465	T	20030218	JP 2001-516328	20000809
	AT 270817	T	20040715	AT 2000-960499	20000809
	PT 1204323	T	20041130	PT 2000-960499	20000809
	ES 2220533	T3	20041216	ES 2000-960499	20000809
	IN 2002MN00092	A	20050318	IN 2002-MN92	20020125
	MX 2002PA01453	A	20030128	MX 2002-PA1453	20020211
	US 6821992	B1	20041123	US 2002-49976	20020709
PRAI	GB 1999-19499	A	19990818		
	GB 1999-19500	A	19990818		
	WO 2000-EP8143	W	20000809		

OS MARPAT 134:174246
AB The pyridine derivs. A1CR1R2LA2 [A1 = (un)substituted 2-pyridyl or its N-oxide; Y = LA2 or L1A3; A2, A3 = (un)substituted carbocyclyl or heterocyclyl; L = NR5C(:X)NR6, NR5C(:X)CHR3, CHR3NR5CHR4, etc.; L1 = NR9C(:X)X1CHR7, NR9C(:X)CHR7CHR8, etc.; R1-9 = CN, NO2, halo, etc.] are prepared as agrochem. fungicides.
IT 172527-71-8P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(intermediate in preparation of pyridine derivative fungicide)
RN 172527-71-8 CAPLUS
CN Propanedioic acid, [3-chloro-5-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 2000:818526 CAPLUS Full-text
DN 134:115916
TI Process Development of Voriconazole: A Novel Broad-Spectrum Triazole Antifungal Agent
AU Butters, Mike; Ebbs, Julie; Green, Stuart P.; MacRae, Julie; Morland, Matthew C.; Murtiashaw, Charles W.; Pettman, Alan J.
CS Department of Process Research and Development, Pfizer Central Research, Sandwich Kent, CT13 9NJ, UK
SO Organic Process Research & Development (2001), 5(1), 28-36
CODEN: OPRDFK; ISSN: 1083-6160
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:115916
AB In the synthesis of (2R,3S)-2-(2,4-difluorophenyl)-3-(5-fluoro-4-pyrimidinyl)-1-(1H-1,2,4-triazol-1-yl)-2-butanol (voriconazole), the relative stereochem. is set in the addition of a 4-(1-metalloethyl)-5- fluoropyrimidine derivative to 1-(2,4-difluorophenyl)-2-(1H-1,2,4-triazol-1- yl)-1-ethanone. The diastereocontrol of this reaction has been examined by variation of pyrimidine substitution pattern and by changes in the metalation and reaction conditions. Excellent diastereoselection (12:1) is obtained using an organozinc derivative of 6-(1-bromoethyl)-4-chloro-5- fluoropyrimidine. After removal of the chlorine from the pyrimidine ring, the absolute stereochem. of voriconazole is established via a diastereomeric salt resolution process using (1R)-10-camphorsulfonic acid. Synthetic routes to the pyrimidine partner have also been evaluated. The initial six-step development route from 5-fluorouracil has been superseded by a four-step synthesis involving fluorination of Me 3-oxopentanoate and cyclization with formamidine acetate.
IT 137234-89-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of voriconazole)
RN 137234-89-0 CAPLUS
CN Propanedioic acid, (2-chloro-5-fluoro-4-pyrimidinyl)methyl-, diethyl ester (9CI) (CA INDEX NAME)

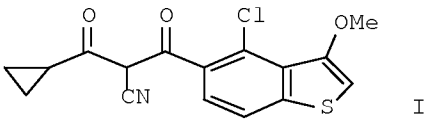


RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1995:995031 CAPLUS Full-text
DN 124:86998
TI 2-Cyano-1,3-dione derivatives useful as herbicides
IN Geach, Neil; Hawkins, David William; Pearson, Christopher John; Smith, Philip Henry Gaunt; White, Nicolas
PA Rhone-Poulenc Agriculture Ltd., UK
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9525099	A1	19950921	WO 1995-EP950	19950314
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, UG, US, UZ, VN				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9518942	A	19951003	AU 1995-18942	19950314
PRAI	GB 1994-5229	A	19940317		
	WO 1995-EP950	W	19950314		

OS CASREACT 124:86998; MARPAT 124:86998
GI

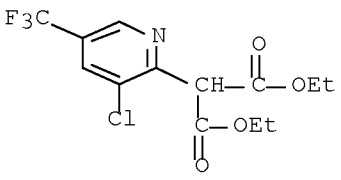


I

AB The invention relates to 2-cyano-1,3-dione derivs. R1COCH(CN)COAr [I; Ar = certain (un)substituted monocyclic or fused bicyclic heterocyclic systems; R1 = (un)substituted C3-6 cycloalkyl] and their use as herbicides. Fifteen I and over 50 intermediates were prepared For example, ring cleavage of 4-(4-chloro-3-methoxybenzo[b]thien-5-ylcarbonyl)-5- cyclopropylisoxazole [preparation given] by NaOMe in MeOH at room temperature gave title compound II. At 250 g/ha postemergence, II gave ≥ 90% control of Echinochloa crus-galli.

IT 172527-71-8P, Diethyl 2-(3-chloro-5-trifluoromethylpyridin-2-yl)malonate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of cyano dione derivs. as herbicides)

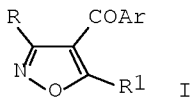
RN 172527-71-8 CAPLUS
CN Propanedioic acid, [3-chloro-5-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:409389 CAPLUS Full-text
DN 121:9389
TI Preparation of isoxazoles derivatives and their use as herbicides
IN Cramp, Susan Mary; Smith, Philip Henry Gaunt
PA Rhone-Poulenc Agriculture Ltd., UK
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 588357	A1	19940323	EP 1993-114989	19930917
	EP 588357	B1	20020612		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AU 9346250	A	19940324	AU 1993-46250	19930908
	AU 666397	B2	19960208		
	CA 2105822	A1	19940319	CA 1993-2105822	19930909
	CA 2105822	C	20040706		
	IL 106997	A	19970610	IL 1993-106997	19930913
	BR 9303517	A	19940322	BR 1993-3517	19930916
	FI 9304089	A	19940319	FI 1993-4089	19930917
	ZA 9306867	A	19940411	ZA 1993-6867	19930917
	CN 1085219	A	19940413	CN 1993-117864	19930917
	CN 1045439	B	19991006		
	JP 06192015	A	19940712	JP 1993-231546	19930917
	JP 3557230	B2	20040825		
	HU 68735	A2	19950728	HU 1993-2622	19930917
	US 5480857	A	19960102	US 1993-128605	19930917
	RU 2114842	C1	19980710	RU 1993-52688	19930917
	EP 1156048	A1	20011121	EP 2001-119705	19930917
	EP 1156048	B1	20070808		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	AT 219079	T	20020615	AT 1993-114989	19930917
	ES 2173877	T3	20021101	ES 1993-114989	19930917
	AT 369361	T	20070815	AT 2001-119705	19930917
PRAI	GB 1992-19779	A	19920918		
	EP 1993-114989	A3	19930917		

OS MARPAT 121:9389
GI



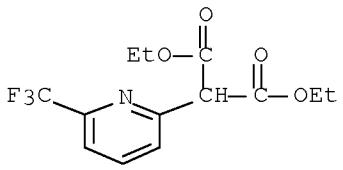
I

AB Title compds. I (Ar = (substituted) heterocyclyl; R = H, R3O2C wherein R3 = (substituted) C1-6 alkyl; R1 = (halo) C1-6 alkyl, (substituted) C3-6 cycloalkyl)or a salt thereof, are prepared HONH2 and 3-cyclopropyl-1-(3,5-dichloropyridin-2-yl)-2-(dimethylamino)methylenepropane-1,3-dione (preparation given) in EtOH were stirred at room temperature overnight to give I (Ar = 3,5-dichloro-2-pyridyl, R = H, R1 = cyclopropyl) which with other 16 I when

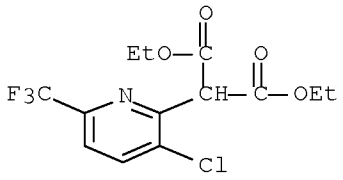
applied pre- or post-emergence at 4 kg/ha or less, gave at leat 80% control of one or more weed species.

IT 155377-09-6P 155377-10-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn and reaction of, in preparation of herbicides)

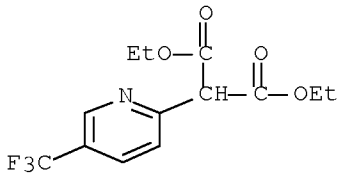
RN 155377-09-6 CAPLUS
CN Propanedioic acid, [6-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



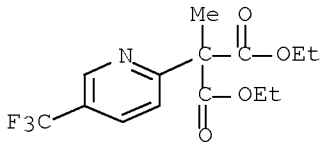
RN 155377-10-9 CAPLUS
CN Propanedioic acid, [3-chloro-6-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



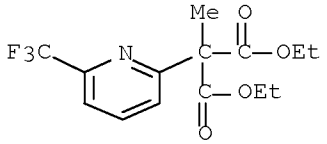
L30 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1994:191483 CAPLUS Full-text
DN 120:191483
TI SRN1 reactions of chloro(trifluoromethyl)pyridines with naphtholate, phenolate and malonate anions
AU Beugelmans, Rene; Chastanet, Jacqueline
CS Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, 91198, Fr.
SO Tetrahedron (1993), 49(36), 7883-90
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
AB 2-Chloropyridines, bearing a CF3 group on position 3, 4, 5 or 6 (2-Cl Py CF3) are suitable substrates for photostimulated SRN1 reactions with nucleophiles derived from 2-naphthol (Nap-OH) or from phenol (PhOH). C-C coupling between the regiospecifically generated 2-pyridyl radical and the carbanionic site of the nucleophile yields 2-heretobiaryl derivs. (CF3Py-Nap-OH or CF3Py-PhOH). Similarly, coupling of the 2-amino-5-CF33-pyridyl radical yields 3-heterobiaryl derivs. Coupling of the malonate anion takes place with the aforementioned radicals.
IT 153704-26-8P 153704-27-9P 153704-28-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation in study of radical nucleophilic substitution of chloro(trifluoromethyl)pyridine with anions)
RN 153704-26-8 CAPLUS
CN Propanedioic acid, [5-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 153704-27-9 CAPLUS
CN Propanedioic acid, methyl[5-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 153704-28-0 CAPLUS
CN Propanedioic acid, methyl[6-(trifluoromethyl)-2-pyridinyl]-, diethyl ester (9CI) (CA INDEX NAME)



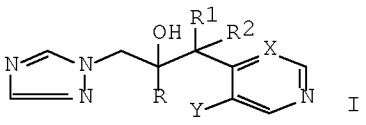
L30 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1991:656213 CAPLUS Full-text
DN 115:256213
TI Preparation of 2-phenyl-3-(halopyridinyl)- or -pyrimidinyl)-1-triazolylbutanols as medical fungicides
IN Ray, Stephen James; Richardson, Kenneth
PA Pfizer Ltd., UK; Pfizer Inc.
SO Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 440372	A1	19910807	EP 1991-300553	19910124
	EP 440372	B1	19930602		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 90090	T	19930615	AT 1991-300553	19910124
	ES 2055523	T3	19940816	ES 1991-300553	19910124
	IL 97045	A	19951127	IL 1991-97045	19910125
	IN 176148	A1	19960210	IN 1991-DE74	19910125
	IL 110322	A	19961031	IL 1991-110322	19910125
	RO 109648	B1	19950428	RO 1991-146821	19910128
	CA 2035314	A1	19910803	CA 1991-2035314	19910130
	CA 2035314	C	20000118		
	CA 2285891	C	20040106	CA 1991-2285891	19910130
	NO 9100368	A	19910805	NO 1991-368	19910131
	NO 176796	B	19950220		
	NO 176796	C	19950531		
	JP 04211078	A	19920803	JP 1991-31977	19910131
	PL 169307	B1	19960628	PL 1991-306941	19910131
	PL 169332	B1	19960731	PL 1991-306940	19910131
	FI 9100508	A	19910803	FI 1991-508	19910201
	FI 107608	B1	20010914		
	HU 56361	A2	19910828	HU 1991-366	19910201
	HU 205351	B	19920428		
	AU 9170223	A	19910905	AU 1991-70223	19910201
	AU 625188	B2	19920702		
	BR 9100435	A	19911022	BR 1991-435	19910201
	ZA 9100761	A	19920930	ZA 1991-761	19910201
	CZ 279339	B6	19950412	CZ 1991-249	19910201
	RU 2036194	C1	19950527	RU 1991-4894374	19910201
	SK 278215	B6	19960403	SK 1991-249	19910201
	RU 2114838	C1	19980710	RU 1991-5010394	19910201
	CN 1053787	A	19910814	CN 1991-100706	19910202
	CN 1026788	B	19941130		
	US 5278175	A	19940111	US 1992-956569	19921005
	LV 10615	B	19951220	LV 1993-1224	19931115
	CN 1100421	A	19950322	CN 1994-102354	19940226
	CN 1040504	B	19981104		
	US 5567817	A	19961022	US 1995-432414	19950501
	US 5773443	A	19980630	US 1996-683694	19960718
	JP 09208583	A	19970812	JP 1996-190918	19960719
	JP 2848811	B2	19990120		
	FI 9701238	A	19970325	FI 1997-1238	19970325
	FI 2000000084	A	20000117	FI 2000-84	20000117
PRAI	GB 1990-2375	A	19900202		
	EP 1991-300553	A	19910124		
	IL 1991-97045	A3	19910125		
	US 1991-646564	B1	19910125		

	CA 1991-2035314	A3	19910130
	JP 1991-31977	A3	19910131
	FI 1991-508	A	19910201
	US 1992-956569	A3	19921005
	US 1993-139972	B1	19931020
	US 1995-432414	A1	19950501

OS MARPAT 115:256213

GI



I

AB The title compds [I; R = (un)substituted Ph; R1 = C1-4 alkyl; R2 = H, C1-4 alkyl; X = CH, N; Y = F, Cl] or their pharmaceutically acceptable salts, medical fungicides effective especially against Aspergillus ssp. fungi, were prepared, e.g., by condensation reaction of deprotonated Et (halo)pyridines with Ph triazolomethyl ketones. Thus, 4-ethyl-3-fluoropyridine was added dropwise at -70° to an in-situ prepd solution of (Me2CH)2NLi in THF, the mixture was stirred 15 min at that temperature, a solution of 1-(2,4-difluorophenyl)-2-(1H-1,2,4-triazol-1-yl)ethanone in THF was added, and the whole allowed to warm to room temperature over a 30-min period and the crude product chromatographed on silica to give title compound (I; R = 2,4-F2C6H3, R1 = Me, R2 = H, X = CH) (II; Y = F) as enantiomeric pairs A and B. The pair B in mice at 29 mg/kg twice a day for 5 days gave survival rate of 5 out of 5 test animals inoculated by Aspergillus fumigatus, vs. 4 out of 5 for a known structural analog (II; Y = H).

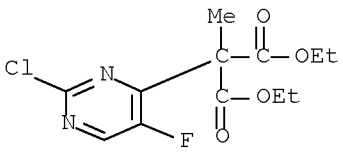
IT 137234-89-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of medical fungicides)

RN 137234-89-0 CAPLUS

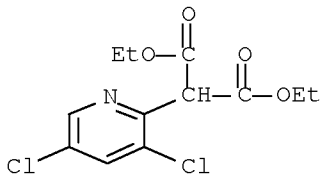
CN Propanedioic acid, (2-chloro-5-fluoro-4-pyrimidinyl)methyl-, diethyl ester (9CI) (CA INDEX NAME)



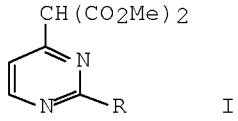
L30 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:212625 CAPLUS Full-text
DN 110:212625
TI Preparation of 3-(pyridinylcarbonyl)-2,4-pyrandiones and their thia and aza analogs as herbicides
IN Grina, Jonas
PA Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 8 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3820538	A1	19890105	DE 1988-3820538	19880616
	AU 8818177	A	19881222	AU 1988-18177	19880620
	DK 8803365	A	19881223	DK 1988-3365	19880620
	FR 2616787	A1	19881223	FR 1988-8354	19880620
	GB 2206114	A	19881229	GB 1988-14614	19880620
	BR 8803033	A	19890110	BR 1988-3033	19880621
	NL 8801580	A	19890116	NL 1988-1580	19880621
	JP 01146881	A	19890608	JP 1988-154530	19880621
	ZA 8804459	A	19900228	ZA 1988-4459	19880622
PRAI	GB 1987-14599	A	19870622		

OS MARPAT 110:212625
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A, B = H, C1-4 alkyl; AB = bond; R1 = substituted pyridinylcarbonyl; R2 = H, C1-4 alkyl, halo; R3 = H, C1-4 alkyl, (un)substituted Ph; if AB = bond, R2R3 may = CH:CHCH:CH; X = O, S, R4N; R4 = H, C1-4 alkyl(phenyl), Ph] and their corresponding enols II (R5 = H) were prepared as herbicides. A suspension of 2.40 g 4-hydroxy-6-methyl-2H- pyran-2-one and 4.00 g 3,5-dichloro-2-pyridinecarbonyl chloride [prepared in 4 steps starting with reaction of 3,5-dichloropyridine and CH2(CO2Et)2] in CH2Cl2 was stirred at room temperature while 1.8 mL Et3N was added dropwise. The mixture was stirred 6 h at room temperature and the intermediate ester was caused to rearrange by addition of Me2C(OH)CN and further Et3N and stirring overnight to give 1.28 g hydroxy(pyridinylcarbonyl)pyranone III. I and/or II showed herbicidal activity against several common weeds at 30-1000 g/ha.
IT 120569-92-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and decarboxylation of)
RN 120569-92-8 CAPLUS
CN Propanedioic acid, 2-(3,5-dichloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)

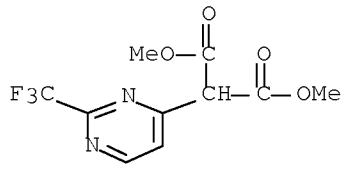


L30 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1989:153667 CAPLUS Full-text
DN 110:153667
TI Tautomerism of azine dezivatives. XIII. Influence of inductive substituents on the position of tautomeric equilibrium of the azine-ylidene type
AU Petrenko, O. P.; Lopachev, V. V.; Mamaev, V. P.
CS Novosib. Inst. Org. Khim., Novosibirsk, USSR
SO Zhurnal Organicheskoi Khimii (1988), 24(9), 1793-9
CODEN: ZORKAE; ISSN: 0514-7492
DT Journal
LA Russian
OS CASREACT 110:153667
GI

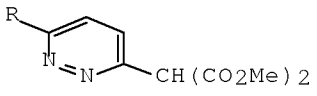


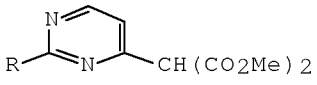
I

AB The tautomerism of hydroxy, amino, mercapto, and substituted Me derivs. of pyridine and pyrimidine was studied theor. and exptl. Thus, the ratios of azinyl and azinylidene tautomers of pyrimidinylmalonates I (R = H, Me, CF3) were 3.74, 1.05, and >50, resp. The inductive substituents exerted the same type of effect on all the above functional groups.
IT 119884-64-9
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(tautomerism of)
RN 119884-64-9 CAPLUS
CN Propanedioic acid, [2-(trifluoromethyl)-4-pyrimidinyl]-, dimethyl ester (9CI) (CA INDEX NAME)



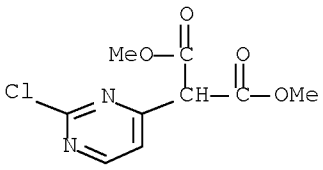
L30 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1983:487449 CAPLUS Full-text
DN 99:87449
OREF 99:13481a,13484a
TI Tautomerism of azine derivatives. VIII. Kinetics of tautomeric reactions of azinylmalonic esters
AU Petrenko, O. P.; Lapachev, V. V.; Mamaev, V. P.
CS Novosib. Inst. Org. Khim., Novosibirsk, USSR
SO Izvestiya Sibirskogo Otdeleniya Akademii Nauk SSSR, Seriya Khimicheskikh Nauk (1983), (3), 87-92
CODEN: IZSKAB; ISSN: 0002-3426
DT Journal
LA Russian
GI



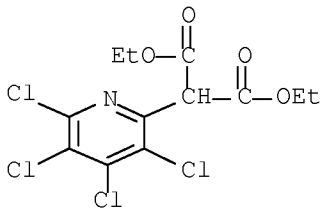
I


II

AB Rate consts. and activation parameters were determined for the forward and reverse processes in the tautomerization of I (R = H, Cl) and II (R = H, Cl). The autocatalysis observed, the high neg. ΔS.thermod. values, and the solvent effect indicated an ionic mechanism.
IT 86761-89-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(tautomerization of, kinetics of)
RN 86761-89-9 CAPLUS
CN Propanedioic acid, (2-chloro-4-pyrimidinyl)-, dimethyl ester (9CI) (CA INDEX NAME)



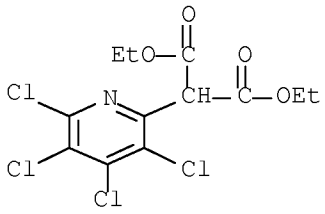
L30 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1974:520400 CAPLUS Full-text
DN 81:120400
OREF 81:19027a,19030a
TI Reaction of pentachloropyridine with malonic ester
AU Moshchitskii, S. D.; Dubinskaya, E. S.; Pavlenko, A. F.
CS Inst. Org. Khim., Kiev, USSR
SO Ukrainskii Khimicheskii Zhurnal (Russian Edition) (1974), 40(7), 744-7
CODEN: UKZHAU; ISSN: 0041-6045
DT Journal
LA Russian
GI For diagram(s), see printed CA Issue.
AB Reaction of pentachloropyridine with $CH_2(CO_2Et)_2$ gave 72% the pyridinemalonic acid I and 18% di-Et 2,3,5,6-tetrachloropyridine-4- malonate. Monodecarboxylation of I gave 90% the acid II (R = OH). II (R = OEt, Cl, NH_2, NHPh) were also prepared Decarboxylation of II (R = OH) gave 95% 2-methyltetrachloropyridine, which was oxidized to give 38% tetrachloropicolinic acid. Heating $(H_2N)_2CO$ with I gave 60% the barbituric acid III.
IT 51624-67-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51624-67-0 CAPLUS
CN Propanedioic acid, (3,4,5,6-tetrachloro-2-pyridinyl)-, diethyl ester (9CI) (CA INDEX NAME)



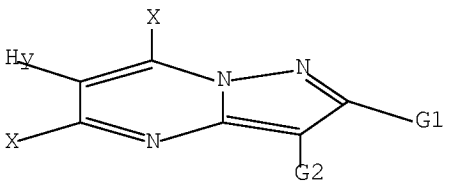
L30 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2008 ACS on STN
AN 1974:95755 CAPLUS Full-text
DN 80:95755
OREF 80:15395a,15398a
TI Diethyl 2-(3,4,5,6-tetrachloropyridyl)malonate
IN Moshchitskii, S. D.; Dubrinskaya, E. S.; Pavlenko, A. F.; Ivashchenko, Ya. N.
PA Institute of Organic Chemistry, Academy of Sciences, Ukrainian S.S.R.
SO U.S.S.R.
From: Otkrytiya, Izobret., Prom. Tovarnye Znaki 1973, 50(47), 83.
CODEN: URXXAF
DT Patent
LA Russian
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI SU 407902	A1	19731210	SU 1971-1715227	19711116
PRAI SU 1971-1715227	A	19711116		

AB Di-Et 2-(3,4,5,6-tetrachloropyridyl)malonate was prepared by heating pentachloropyridine with sodiomalonic ester in dioxane.
IT 51624-67-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51624-67-0 CAPLUS
CN Propanedioic acid, (3,4,5,6-tetrachloro-2-pyridinyl)-, diethyl ester (9CI) (CA INDEX NAME)



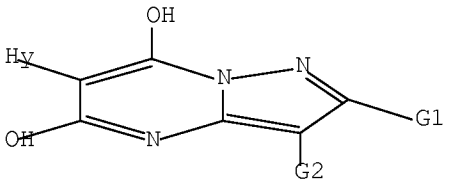
=> d l2; d l4; d l6; d l17; d his; log y
L2 HAS NO ANSWERS
L1 STR



G1 H,Ak
G2 CN,NO2,X,Cb,Ak,S,N

Structure attributes must be viewed using STN Express query preparation.
L2 QUE ABB=ON PLU=ON L1

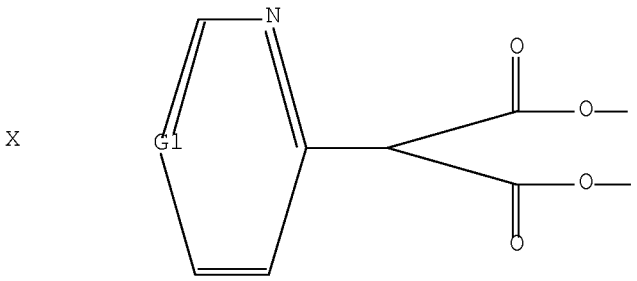
L4 HAS NO ANSWERS
L3 STR



G1 H,Ak
G2 CN,NO2,X,Cb,Ak,S,N

Structure attributes must be viewed using STN Express query preparation.
L4 QUE ABB=ON PLU=ON L3

L6 HAS NO ANSWERS
L5 STR

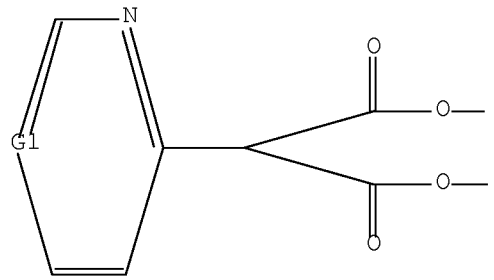


G1 C,N

Structure attributes must be viewed using STN Express query preparation.
L6 QUE ABB=ON PLU=ON L5

L17 HAS NO ANSWERS
L16 STR

X



G1 C,N

Structure attributes must be viewed using STN Express query preparation.
L17 QUE ABB=ON PLU=ON L16

(FILE 'HOME' ENTERED AT 14:57:29 ON 29 FEB 2008)

FILE 'REGISTRY' ENTERED AT 14:57:42 ON 29 FEB 2008
L1 STRUCTURE UPLOADED
L2 QUE L1
L3 STRUCTURE UPLOADED
L4 QUE L3
L5 STRUCTURE UPLOADED
L6 QUE L5
L7 1 S L2
L8 5 S L2 FUL
L9 0 S L4
L10 2 S L4 FUL
L11 6 S L6
L12 134 S L6 FUL
L13 7 S L8 OR L10

FILE 'CAPLUS' ENTERED AT 15:05:17 ON 29 FEB 2008
L14 2 S L13
L15 94 S L12

FILE 'REGISTRY' ENTERED AT 15:06:50 ON 29 FEB 2008
L16 STRUCTURE UPLOADED
L17 QUE L16
L18 5 S L17 SAM SUB=L12
L19 106 S L17 FUL SUB=L12
L20 71 S L19 AND 0-1/NR
L21 61 S L20 AND 1-2/N
L22 44 S L21 AND 4-5/O

FILE 'CAPLUS' ENTERED AT 15:10:04 ON 29 FEB 2008
L23 48 S L22

FILE 'REGISTRY' ENTERED AT 15:10:11 ON 29 FEB 2008
L24 7 S L23 AND AMINO?
L25 6 S L23 AND CYAN?
L26 37 S L23 NOT L24
L27 38 S L23 NOT L25
L28 13 S L24 OR L25
L29 31 S L23 NOT L28

FILE 'CAPLUS' ENTERED AT 15:13:34 ON 29 FEB 2008
L30 28 S L29

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	153.56	779.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-22.40	-24.00

STN INTERNATIONAL LOGOFF AT 15:14:36 ON 29 FEB 2008